

## PATENT COOPERATION TREATY

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner  
US Department of Commerce  
United States Patent and Trademark  
Office, PCT  
2011 South Clark Place Room  
CP2/5C24  
Arlington, VA 22202  
ETATS-UNIS D'AMERIQUE  
in its capacity as elected Office

<b>Date of mailing</b> (day/month/year) 29 August 2001 (29.08.01)	
<b>International application No.</b> PCT/US00/17685	<b>Applicant's or agent's file reference</b> 1663/00166
<b>International filing date</b> (day/month/year) 28 June 2000 (28.06.00)	<b>Priority date</b> (day/month/year) 28 June 1999 (28.06.99)
<b>Applicant</b> CHAND, Pooran et al	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:  
24 January 2001 (24.01.01)

☐ in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was  
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

<b>The International Bureau of WIPO</b> 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	<b>Authorized officer</b> Maria KIRCHNER Telephone No.: (41-22) 338.83.38
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# PATENT COOPERATION TREATY

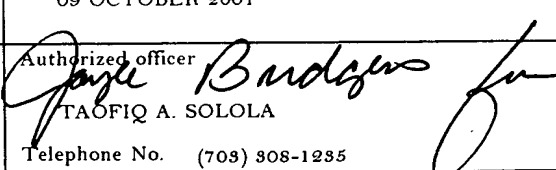
## PCT

### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 1663/00166	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US00/17685	International filing date (day/month/year) 28 JUNE 2000	Priority date (day/month/year) 28 JUNE 1999
International Patent Classification (IPC) or national classification and IPC Please See Supplemental Sheet.		
Applicant BIOCRYST PHARMACEUTICALS, INC.		

1.	This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2.	This REPORT consists of a total of <u>5</u> sheets.  <input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority. (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).  These annexes consist of a total of _____ sheets.
3.	This report contains indications relating to the following items: <ul style="list-style-type: none"> <li>I <input checked="" type="checkbox"/> Basis of the report</li> <li>II <input type="checkbox"/> Priority</li> <li>III <input type="checkbox"/> Non-establishment of report with regard to novelty, inventive step or industrial applicability</li> <li>IV <input checked="" type="checkbox"/> Lack of unity of invention</li> <li>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li>VI <input type="checkbox"/> Certain documents cited</li> <li>VII <input type="checkbox"/> Certain defects in the international application</li> <li>VIII <input type="checkbox"/> Certain observations on the international application</li> </ul>

Date of submission of the demand  24 JANUARY 2001	Date of completion of this report  09 OCTOBER 2001
Name and mailing address of the IPEA/US Commissioner of Patents and Trademarks Box PCT Washington, D.C. 20231	Authorized officer  TAOFIQ A. SOLOLA
Facsimile No. (703) 305-3230	Telephone No. (703) 308-1235

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/17685

## I. Basis of the report

1. With regard to the **elements** of the international application:\*

☐ the international application as originally filed

☒ the description:

pages 1-39

pages NONE, as originally filed

pages NONE, filed with the demand

, filed with the letter of

☒ the claims:

pages 40-49

pages NONE, as originally filed

pages NONE, as amended (together with any statement) under Article 19

pages NONE, filed with the demand

, filed with the letter of

☒ the drawings:

pages NONE

pages NONE, as originally filed

pages NONE, filed with the demand

, filed with the letter of

☒ the sequence listing part of the description:

pages NONE

pages NONE, as originally filed

pages NONE, filed with the demand

, filed with the letter of

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language which is:

☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).

☐ the language of publication of the international application (under Rule 48.3(b)).

☐ the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

☐ contained in the international application in printed form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☒ The amendments have resulted in the cancellation of:

☒ the description, pages NONE

☒ the claims, Nos. NONE

☒ the drawings, sheets/fig. NONE

5. ☐ This report has been drawn as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

\*\*Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/17685

## IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees the applicant has:

- ☐ restricted the claims.
- ☒ paid additional fees.
- ☐ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☒ not complied with for the following reasons:

This application contains the following inventions or groups of inventions which are not so linked as to form a single inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group I, claim(s) 1 and 6, drawn to isoxazoline derivatives and their process of making.  
 Group II, claim(s) 2, drawn to a process of making cyclopentene.  
 Group III, claim(s) 3, drawn to a second process of making cyclopentene.  
 Group IV, claim(s) 4, drawn to a second process of making isoxazoline derivatives.  
 Group V, claim(s) 5, drawn to a third process of making cyclopentene.

The inventions listed as groups I-V do not relate to a single inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: the technical feature common to all the groups is cyclopentene. However, cyclopentene is well known in the art and therefore cannot constitute a special technical feature under PCT Rules 13.1 and 13.2.

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.
- ☐ the parts relating to claims Nos. .

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/17685

**Supplemental Box**

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: Boxes I - VIII

Sheet 10

**CLASSIFICATION:**

The International Patent Classification (IPC) and/or the National classification are as listed below:

IPC(7): C07C 61/06, 62/18, 205/55, 229/28; C07D 261/20 and US Cl.: 548/241; 560/125, 126; 562/504

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
4 January 2001 (04.01.2001)

PCT

(10) International Publication Number  
**WO 01/00558 A1**

- (51) International Patent Classification<sup>7</sup>: C07C 61/06, 62/18, 205/55, 229/28, C07D 261/20
- (21) International Application Number: PCT/US00/17685
- (22) International Filing Date: 28 June 2000 (28.06.2000)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
60/140,840 28 June 1999 (28.06.1999) US
- (71) Applicant (for all designated States except US):  
**BIOCRIST PHARMACEUTICALS, INC.** [US/US];  
2190 Parkway Lake Drive, Birmingham, AL 35244 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **CHAND, Pooran** [IN/US]; 509 Creekwood Place, Birmingham, AL 35226 (US). **ELLIOTT, Arthur, J.** [US/US]; 7 Roan Court, P.O. Box 1302, Sonoita, AZ 85637 (US).
- (74) Agents: **AMERNICK, Burton, A. et al.**; Pollock, Vande Sande & Amernick, Suite 800, 1990 M Street, N.W., Washington, DC 20036 (US).
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- Published:**  
— With international search report.  
— Before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments.
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*



**WO 01/00558 A1**

(54) Title: PREPARATION OF SUBSTITUTED CYCLOPENTANE AND CYCLOPENTENE COMPOUNDS AND CERTAIN INTERMEDIATES

(57) Abstract: The invention relates to methods for preparing substituted cyclopentene compounds, their intermediates and use as neuraminidase inhibitors.

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US00/17685

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07C 61/06, 62/18, 205/55, 229/28; C07D 261/20  
US CL : 548/241; 560/125, 126; 562/504

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 548/241; 560/125, 126; 562/504

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X --- A	PARK, et al. Diastereoselective Synthesis of Cyclopentanoids with Hydantoin and Isoxazoline Substituents. J. Org. Chem. 1998, Vol. 63, No. 1, pages 113-117. See page 113, col. 1, lines 12-15, col. 2, lines 21-25. See also scheme 1, page 114.	1 -- 2-6
X,P --- A,P	WO 99/33781 (BIOCRYST PHARMACEUTICALS, INC.) 08 July 1999 (08.07.99), examples 39-45, pages 68-73.	6 -- 1-5

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:	*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
*A* document defining the general state of the art which is not considered to be of particular relevance	*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
*E* earlier document published on or after the international filing date	*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
*L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	*Z* document member of the same patent family
*O* document referring to an oral disclosure, use, exhibition or other means	
*P* document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search  
06 OCTOBER 2000Date of mailing of the international search report  
22 NOV 2000Name and mailing address of the ISA/US  
Commissioner of Patents and Trademarks  
Box PCT  
Washington, D.C. 20231  
Facsimile No. (703) 305-3230Authorized officer  
TAOFIQ A. SOLOLA  
Telephone No. (703) 308-1235

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US00/17685

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
  
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

Please See Extra Sheet.

1. ☒ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
  
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐

The additional search fees were accompanied by the applicant's protest.

☐

No protest accompanied the payment of additional search fees.



# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US00/17685

## BOX II. OBSERVATIONS WHERE UNITY OF INVENTION WAS LACKING

This ISA found multiple inventions as follows:

This application contains the following inventions or groups of inventions which are not so linked as to form a single inventive concept under PCT Rule 13.1. In order for all inventions to be searched, the appropriate additional search fees must be paid.

Group I, claim(s) 1 and 6, drawn to isoxazoline derivatives and a process of making the derivatives.

Group II, claim(s) 2, drawn to a process of making cyclopentene.

Group III, claim(s) 3, drawn to a second process of making cyclopentene.

Group IV, claim(s) 4, drawn to a second process of making isoxazoline derivatives.

Group V, claim(s) 5, drawn to a third process of making cyclopentene.

The inventions listed as Groups I-V do not relate to a single inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: the technical feature common to the groups is cyclopentene. However, cyclopentene is well known in the art and therefore cannot constitute a special technical feature under PCT Rules 13.1 and 13.2.